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Liposomes vs. Lipid Nanoparticles: Which Is Best for Drug Delivery?

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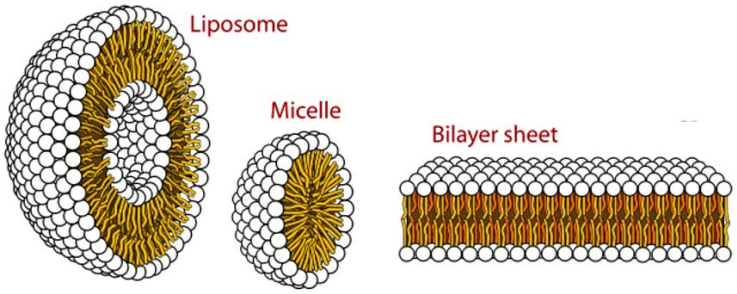
Since their discovery in 1965, by Alec D. Bangham, liposomes have been recognised as the drug delivery vehicle of choice. Their biocompatibility results in minimal adverse reactions. Their amphiphilic structure allows encapsulation of both hydrophilic and hydrophobic active pharmaceutical ingredients (APIs). More recently the liposome's analogous cousin, the lipid nanoparticle, has gained prominence because of its ability to deliver therapeutic payloads, including DNA and mRNA for vaccines. They can both deliver their payload very precisely through treating their surface with proteins allowing highly specific binding to a target cell type.

What are Liposomes?

Liposomes are the most studied drug carriers due to the biocompatibility and biodegradability that they present. Liposomes possess a unique vesicular structure. These vesicles are composed of a lipid bilayer that is primarily composed of amphipathic phospholipid enclosing an interior aqueous space. As such, any cargo of interest can be encapsulated within liposomes in either the aqueous compartment (if it is water-soluble/hydrophilic) or within the lipid bilayer (if fat-soluble/lipophilic).



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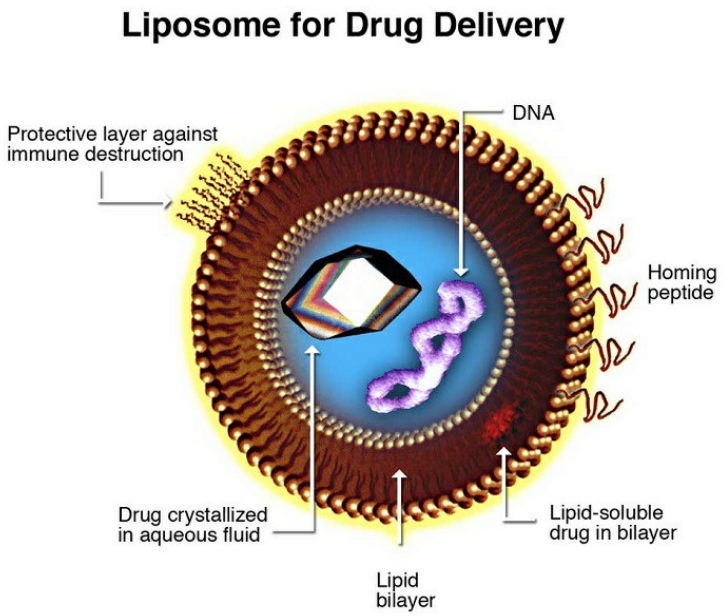
The preparation of liposomes with entrapped solutes was first demonstrated in a published paper38 by Prof. A.D. Bangham of the United Kingdom. Since their inception, liposomes have been explored as carriers for delivering drugs and pharmaceuticals.4,39–41 Currently, a number of liposome formulations are in clinical use to combat cancer and infectious diseases, while others await clinical trial outcomes (for updated information, please visit the website www.clinicaltrials.org).



Liposomal design for drug delivery. Source: Torchilin, VP "Multifunctional Nanocarriers." Adv Drug Deliv Rev 2006 Dec; 58 (14): 1532-55 doi: 10.1016/j.addr.2006.09.009

Features of liposomes:

① Targeting: Liposomes can selectively enter certain tissues or organs of the human body, such as liver and spleen. The concentration of liposome drugs in the liver is 200 to 700 times that of ordinary drugs. Therefore, it is also called "drug missile";

② Sustained-release: Slow-release effect: Since the drug is encapsulated in liposomes, its diffusion rate is reduced, which can delay the excretion and metabolism of the kidneys and prolong the action time;

③ Reduce drug toxicity: Liposome phospholipid bimolecular membrane is similar to mammalian cell membrane, which reduces the body's immune response and is not easy to cause immune response such as allergies. For example, amphotericin B liposomes can reduce cardiotoxicity.

④ Improve stability: Drugs stored for a long time are prone to deterioration, but under the protection of the liposome molecular layer, the possibility of oxidative degradation of the drug is greatly reduced, thereby prolonging the drug effect;

⑤ Various ways of administration: Liposomes can be made into various preparations, not only for intravenous administration, but also for subcutaneous, intramuscular, and mucosal administration, and can also be made into liniments, oral liquids, etc.;

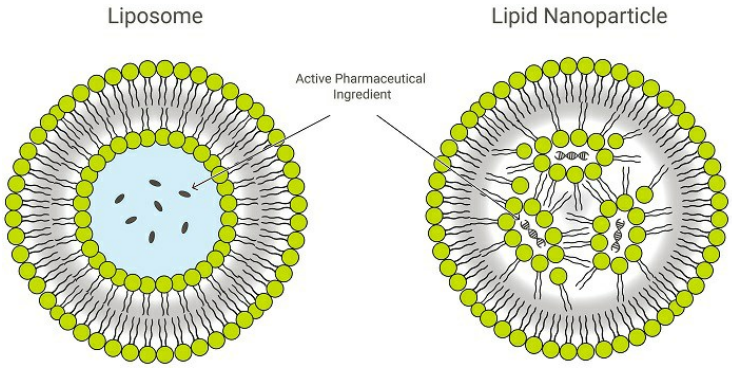
⑥ Controllable drug distribution: As liposomes have targeting properties, the surface properties can be changed during the preparation process to change their targeting properties and control the distribution of drugs in tissues and organs in the body.

Lipid Nanoparticles

In the 1990s a need was identified for alternate approaches for nanoparticles based on lipid components other than phospholipids. Lipid Nanoparticles represent a relatively new colloidal drug delivery system. Kinetic stability and rigid morphology are major advantages that lipid nanoparticles have over vesicular lipid colloidal systems (liposomes).

Lipid Nanoparticles vs Liposomes

Liposomes and lipid nanoparticles are similar in design, but slightly different in composition and function. Both are lipid nanoformulations and excellent drug delivery tools that can transport targeted cargo within the protective outer layer of lipids. However, in applications, lipid nanoparticles can take many forms.



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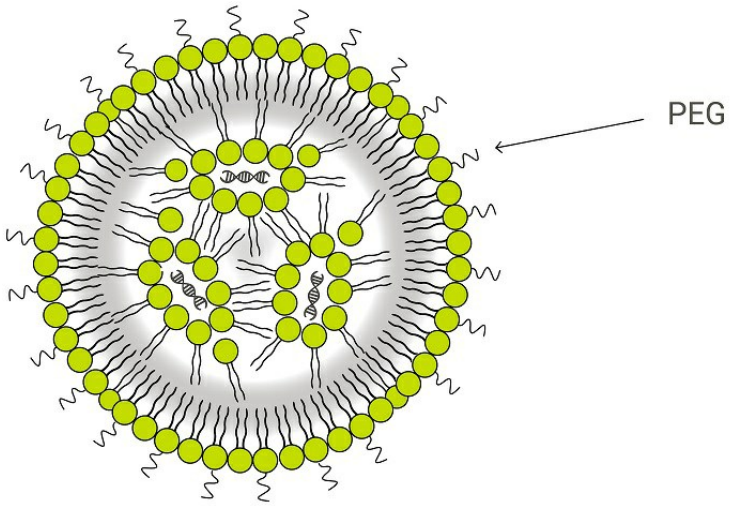
Lipid nanoparticles are liposome-like structure, which is especially suitable for encapsulating various nucleic acids (RNA and DNA). Therefore, they are the most popular non-viral gene delivery system.

Traditional liposomes include one or more lipid bilayer rings surrounding an aqueous pocket, but not all lipid nanoparticles have a continuous bilayer that would qualify them as lipid vesicles or liposomes. Some lipid nanoparticles are micellar-like structures, encapsulating drug molecules in a non-aqueous core.

PEGylation of Lipid Nanoparticles and Liposome-like Drug Delivery Structures

Lipid nanoparticles are mainly composed of cationic lipids and other lipid ingredients. These usually include neutral phospholipid molecules belonging to the phosphatidylcholine (PC) class and sterols such as cholesterol. Another common lipid component is the so-called PEGylated phospholipid- polyethylene glycol (PEG) polymer covalently attached to the phospholipid head group.



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PEGylated phospholipids are used in many lipid-based drug carriers primarily because they offer what is known as a stealth effect to the drug product as it circulates within the body. It drives the human immune system to protect the human body from any foreign bodies, and medicinal nanoparticles are no exception. To help improve transportation efficiency and allow more circulation time for the cargo molecules to reach the expected disease site, PEG is added to shield these nanoparticles by preventing blood plasma proteins from absorbing into the liposome surface, increasing bloodstream circulation lifetime.

The second benefit of PEGylation is that it improves the stability of liposome-like nanostructures. Conventional liposomes, especially liposomes smaller than 200 nm in size, may themselves be unstable and tend to fuse with each other to reduce surface tension. This may result in loss of encapsulated drug or unfavorable mixing of different vesicles' cargo. One way that drug manufacturers have learned to overcome this problem is by covering the exterior of liposomes with polymers such as PEG.

These stealth-equipped nanoparticles have led to a new generation of liposome preparations and a variety of clinically approved products. PEGylated liposomes and lipid nanoparticles are currently the new paradigms for most cancer therapeutics.

Recently, PEGylated lipids attracted more and more attention as a PEGylated lipid is used as an excipient in both the Moderna vaccine and the Pfizer–BioNTech COVID-19 vaccine. Both RNA vaccines consist of Messenger RNA, or mRNA, encased in a bubble of oily molecules called lipids. Proprietary lipid technology is used for each. In both vaccines, the bubbles are coated with a stabilizing molecule of polyethylene glycol.

Biochempeg has been focusing on the development of a full range of medical applications and technologies for nanocarrier systems (including various types of nanoparticles, liposomes, micelles, etc.), and has accumulated a large number of data models and rich research experience in the construction and optimization of nanocarriers for gene vaccines and protein drugs.

References:

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